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***** Welcome to STN International *****

NEWS	1		Web Page for STN Seminar Schedule - N. America
NEWS	2	JAN 02	STN pricing information for 2008 now available
NEWS	3	JAN 16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	4	JAN 28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	5	JAN 28	MARPAT searching enhanced
NEWS	6	JAN 28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	7	JAN 28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	8	JAN 28	MEDLINE and LMEEDLINE reloaded with enhancements
NEWS	9	FEB 08	STN Express, Version 8.3, now available
NEWS	10	FEB 20	PCI now available as a replacement to DPIC
NEWS	11	FEB 25	IFIREF reloaded with enhancements
NEWS	12	FEB 25	IMSPRODUCT reloaded with enhancements
NEWS	13	FEB 29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification
NEWS	14	MAR 31	IFICDB, IFIPAT, and IFIUDB enhanced with new custom IPC display formats
NEWS	15	MAR 31	CAS REGISTRY enhanced with additional experimental spectra
NEWS	16	MAR 31	CA/CAPLUS and CASREACT patent number format for U.S. applications updated
NEWS	17	MAR 31	LPCI now available as a replacement to LDPCI
NEWS	18	MAR 31	EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS	19	APR 04	STN AnaVist, Version 1, to be discontinued
NEWS	20	APR 15	WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats
NEWS	21	APR 28	EMBASE Controlled Term thesaurus enhanced
NEWS	22	APR 28	IMSRSEARCH reloaded with enhancements
NEWS EXPRESS	FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008		
NEWS HOURS	STN Operating Hours Plus Help Desk Availability		
NEWS LOGIN	Welcome Banner and News Items		
NEWS IPC8	For general information regarding STN implementation of IPC 8		

Enter NEWS followed by the item number or name to see news on that specific topic.

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***** STN Columbus *****

FILE 'HOME' ENTERED AT 09:59:05 ON 12 MAY 2008

=> file registry

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 09:59:15 ON 12 MAY 2008

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STRUCTURE FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

DICTIONARY FILE UPDATES: 11 MAY 2008 HIGHEST RN 1020256-26-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

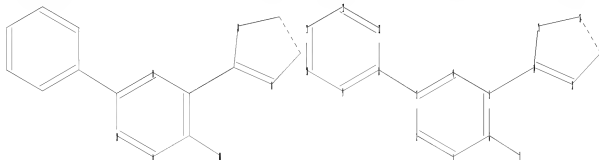
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REGISTRY includes numerically searchable data for experimental and
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on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10549972\10549972b.str



chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

3-8 5-14 6-7

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13 14-15 14-18

15-16 16-17 17-18

exact/norm bonds :

6-7 14-15 14-18 15-16 16-17 17-18

exact bonds :

3-8 5-14
 normalized bonds :
 1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13
 isolated ring systems :
 containing 8 :

Match level :

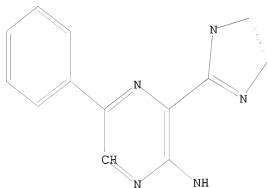
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 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 09:59:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 80 TO ITERATE

100.0% PROCESSED 80 ITERATIONS

7 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**

PROJECTED ITERATIONS: 1064 TO 2136

PROJECTED ANSWERS: 7 TO 298

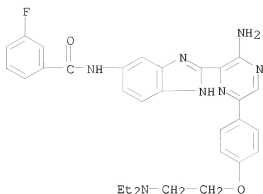
L2 7 SEA SSS SAM L1

=> d scan

L2 7 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Benzamide, N-[2-[3-amino-6-[4-[2-(diethylamino)ethoxy]phenyl]-2-pyrazinyl]-
 1H-benzimidazol-6-yl]-3-fluoro-

MF C30 H30 F N7 O2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l1 full

FULL SEARCH INITIATED 09:59:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1456 TO ITERATE

100.0% PROCESSED 1456 ITERATIONS

80 ANSWERS

SEARCH TIME: 00.00.01

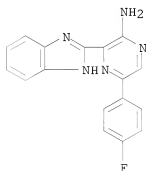
L3 80 SEA SSS FUL L1

=> d scan

L3 80 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)-

MF C17 H12 F N5



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY SESSION
178.82 179.03

FILE 'CAPLUS' ENTERED AT 10:00:10 ON 12 MAY 2008
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FILE LAST UPDATED: 11 May 2008 (20080511/ED)

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=> s l3

L4 4 L3

=> d l4 1-4 ibib abs hitstr

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:410663 CAPLUS

DOCUMENT NUMBER: 148:403249

TITLE: Preparation of pyrazine derivatives as Aurora kinase A and/or B inhibitors

INVENTOR(S): Walmsley, Lee David; Drysdale, Martin James; Chen, Ijen

PATENT ASSIGNEE(S): Vernalis (R & D) Limited, UK

SOURCE: PCT Int. Appl., 55pp.

CODEN: PIXXD2

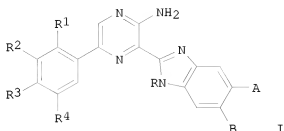
DOCUMENT TYPE: Patent

LANGUAGE: English

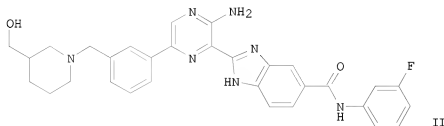
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008038010	A1	20080403	WO 2007-GB3687	20070928
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			



I



II

AB Title compds. represented by the formula I [wherein R1-R5 = independently H, OH, alkyl, etc.; R = H or alkyl; A, B = H or -Z-Ar; Z = -C(=O)-NH-, -NH-C(=O)-, -C(=O)-N(-CH3)- or -N(-CH3)-C(=O)-; Ar = (un)substituted (hetero)aryl; and pharmaceutically acceptable salts, hydrates or solvates thereof] were prepared as inhibitors of Aurora kinase A and/or B. For example, II was provided in a multi-step synthesis starting from the reaction of Me 3-amino-6-bromopyrazine-2-carboxylate with 3-(hydroxymethyl)benzoic acid. I were tested for cellular responses to Aurora inhibition and flow cytometry assay. Thus, I and their pharmaceutical compns. are useful as inhibitors of Aurora kinase A and/or B for the treatment of the condition responsive to inhibition of Aurora Kinase activity is a hyperproliferative disease such as cancer.

IT 1015728-79-6P, 2-[3-Amino-6-[3-[(3-hydroxymethyl)piperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-87-6P, 2-[3-Amino-6-[3-[(ethyl(2-hydroxyethyl)amino)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015728-95-6P, 2-[3-Amino-6-[3-[(piperidin-1-yl)methyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-34-6P, 2-[3-Amino-6-[3-[2-[ethyl(2-hydroxyethyl)amino]ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-42-6P, 2-[3-Amino-6-[3-[2-(4-hydroxymethyl)piperidin-1-yl]ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-50-6P, 2-[3-Amino-6-[3-[2-(3-hydroxymethyl)piperidin-1-yl]ethyl]phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide 1015729-58-4P 1015729-66-4P 1015729-73-3P 1015729-81-3P 1015729-89-1P 1015729-97-1P 1015730-05-8P 1015730-21-8P 1015730-28-5P 1015730-35-4P 1015730-43-4P 1015730-50-3P 1015730-57-0P 1015730-65-0P 1015730-81-0P 1015730-89-8P 1015730-97-8P 1015731-05-1P 1015731-13-1P 1015731-20-0P 1015731-27-7P 1015731-35-7P 1015731-43-7P

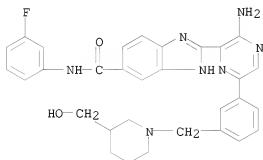
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 1015750-03-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

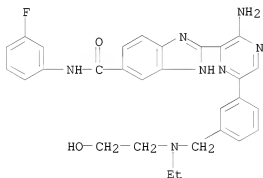
RN 1015728-79-6 CAPLUS

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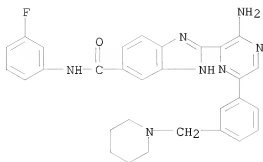
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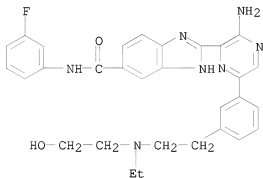


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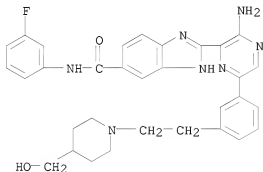
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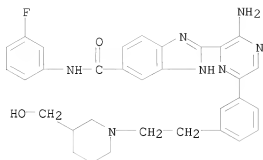
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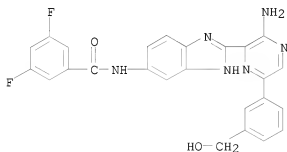


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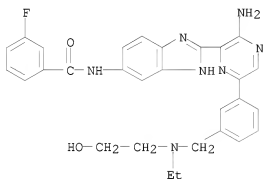
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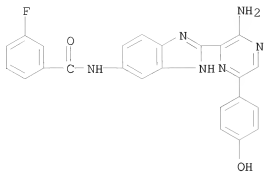
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CN INDEX NAME NOT YET ASSIGNED



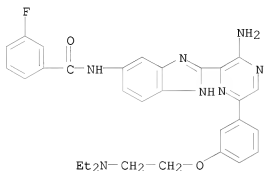
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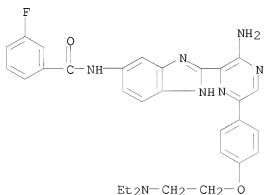
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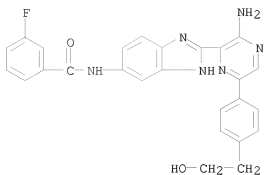
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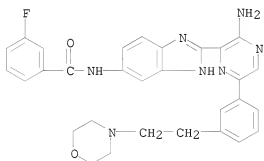
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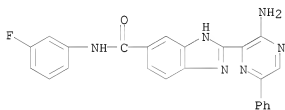
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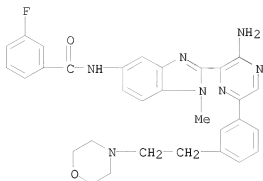
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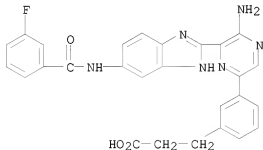
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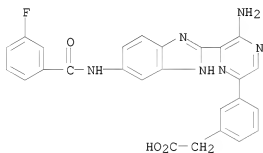
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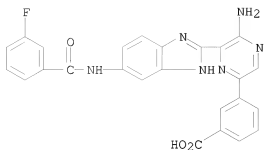
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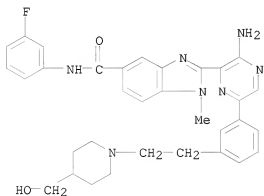


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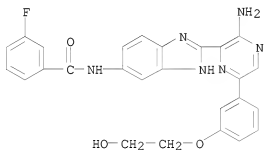
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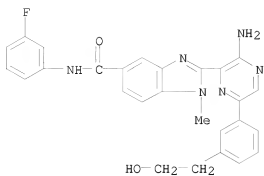
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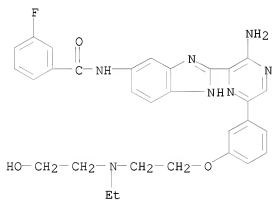
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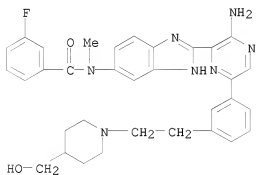
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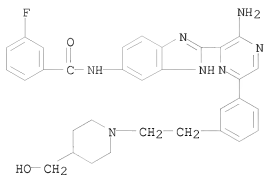
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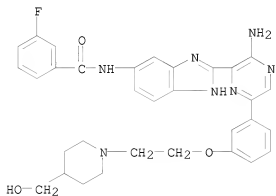
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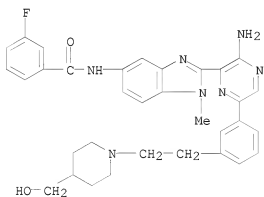
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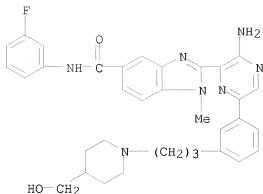
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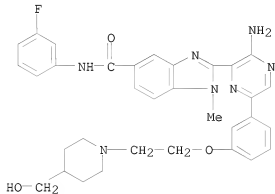
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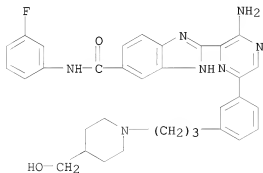
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CN 1H-Benzimidazole-5-carboxamide, 2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)-1-methyl- (CA INDEX NAME)



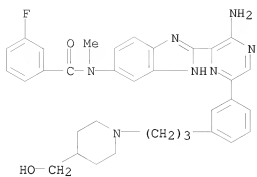
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CN INDEX NAME NOT YET ASSIGNED



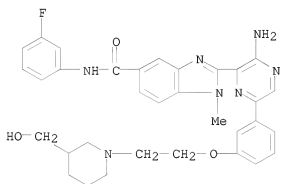
RN 1015731-43-7 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



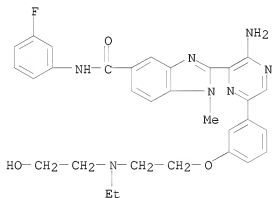
RN 1015731-51-7 CAPLUS
CN Benzamide, N-[2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propyl]phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



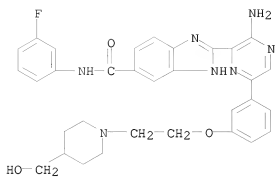
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CN INDEX NAME NOT YET ASSIGNED



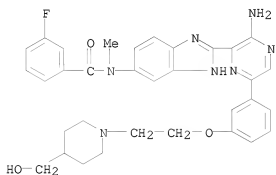
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CN INDEX NAME NOT YET ASSIGNED



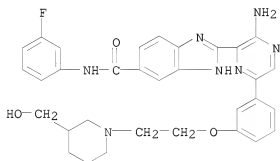
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CN INDEX NAME NOT YET ASSIGNED



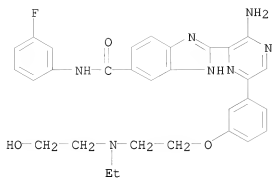
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CN INDEX NAME NOT YET ASSIGNED



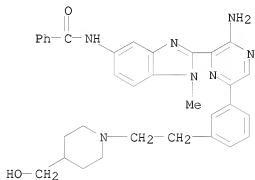
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CN INDEX NAME NOT YET ASSIGNED



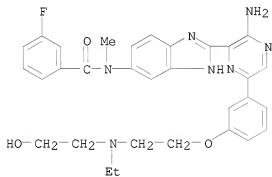
RN 1015731-97-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



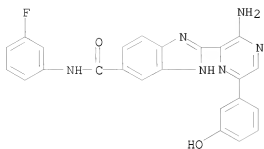
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CN INDEX NAME NOT YET ASSIGNED



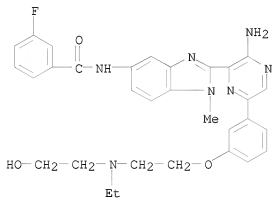
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CN INDEX NAME NOT YET ASSIGNED



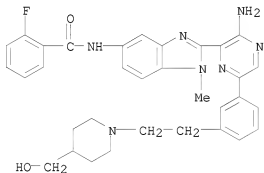
RN 1015732-18-9 CAPLUS
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(3-hydroxyphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



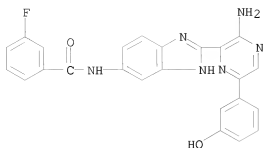
RN 1015732-26-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



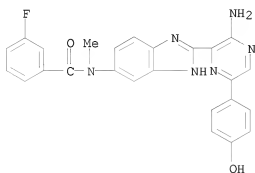
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CN INDEX NAME NOT YET ASSIGNED



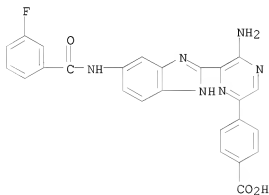
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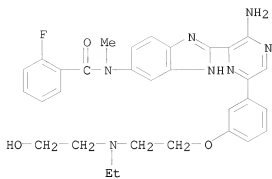
RN 1015732-49-6 CAPLUS
 CN Benamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



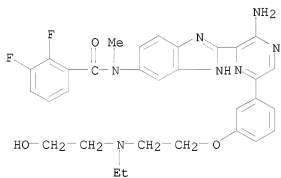
RN 1015732-57-6 CAPLUS
 CN Benzoic acid, 4-[5-amino-6-[6-[(3-fluorobenzoyl)amino]-1H-benzimidazol-2-yl]-2-pyrazinyl]- (CA INDEX NAME)



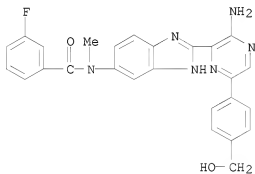
RN 1015732-65-6 CAPLUS
 CN INDEX NAME NOT YET ASSIGNED



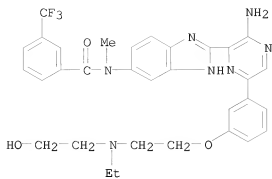
RN 1015732-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



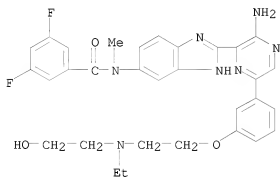
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CN Benzamide, N-[2-[3-amino-6-[4-(hydroxymethyl)phenyl]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-fluoro-N-methyl- (CA INDEX NAME)



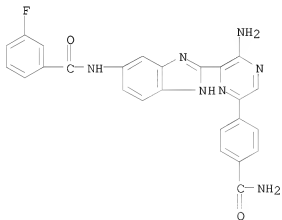
RN 1015732-87-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



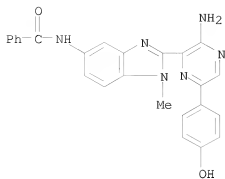
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CN INDEX NAME NOT YET ASSIGNED



RN 1015733-03-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

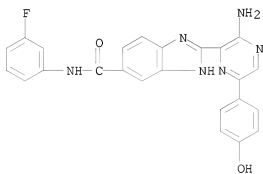


RN 1015733-10-4 CAPLUS
CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-benzimidazol-5-yl]- (CA INDEX NAME)



RN 1015733-18-2 CAPLUS

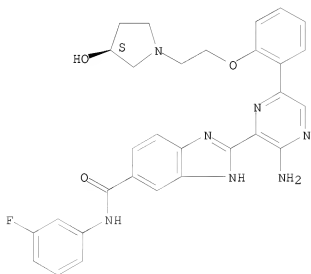
CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015733-26-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

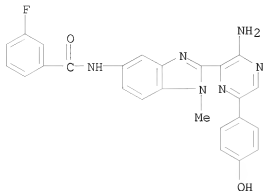
Absolute stereochemistry.



RN 1015733-34-2 CAPLUS

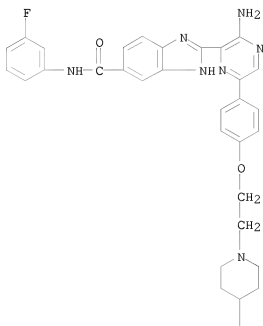
CN Benzamide, N-[2-[3-amino-6-(4-hydroxyphenyl)-2-pyrazinyl]-1-methyl-1H-

benzimidazol-5-yl]-3-fluoro- (CA INDEX NAME)



RN 1015733-42-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

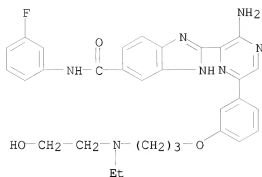
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PAGE 2-A

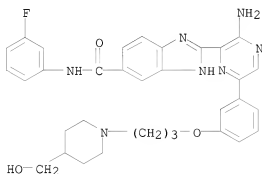


RN 1015733-57-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1015750-03-4 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-[3-[4-(hydroxymethyl)-1-piperidinyl]propoxy]phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



IT 1015728-71-8P, 2-[3-Amino-6-(3-formylphenyl)pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

1015729-18-6P, 2-[3-Amino-6-[3-(2-hydroxyethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

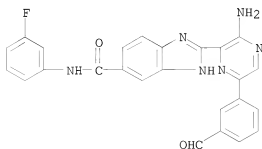
1015729-26-6P, 2-[3-Amino-6-[3-(2-oxoethyl)phenyl]pyrazin-2-yl]-1H-benzimidazole-5-carboxylic acid N-(3-fluorophenyl)amide

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as Aurora kinase A and/or B inhibitors)

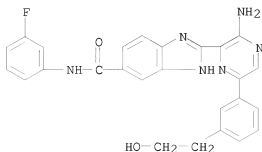
RN 1015728-71-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-(3-formylphenyl)-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



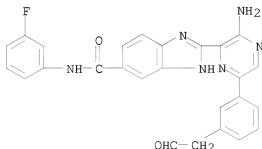
RN 1015729-18-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-hydroxyethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



RN 1015729-26-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 2-[3-amino-6-[3-(2-oxoethyl)phenyl]-2-pyrazinyl]-N-(3-fluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:558540 CAPLUS

DOCUMENT NUMBER: 145:62865

TITLE: Preparation of 1H-pyrrolo[2,3-b]pyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1)

INVENTOR(S): Frazee, James S.; Hammond, Marlys; Kano, Kazuya; Manns, Sharada; Nakamura, Hiroko; Thompson, Scott Kevin; Washburn, David G.

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063167	A1	20060615	WO 2005-US44485	20051208
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,				

MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1828180 A1 20070905 EP 2005-853413 20051208

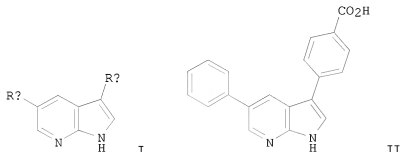
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PRIORITY APPLN. INFO.: US 2004-634149P P 20041208

WO 2005-US44485 W 20051208

OTHER SOURCE(S): MARPAT 145:62865

GI



AB Title compds. I [wherein Ra, Rb = (un)substituted Ph, pyridinyl, thiophenyl, etc.] and pharmaceutically acceptable salts or solvates thereof were prepared as SGK-1 kinase inhibitors. For instance, successive coupling reaction of 5-bromo-1H-pyrrolo[2,3-b]pyridine with phenylboronic acid (99%), bromination in the 3-position of the pyrrolopyridine ring with Br2, N-protection with TsCl (68% for two steps), coupling with 4-carboxyphenylboronic acid, and deprotection with NaOH (60%) gave benzoic acid II. I were found to have SGK-1 inhibitory activity with IC50 values of below 1.5 μ M in a FR assay. Therefore, I and their pharmaceutical compns. are useful for the treatment of diseases mediated by SGK-1, such as renal and cardiovascular disease.

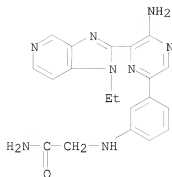
IT 890843-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

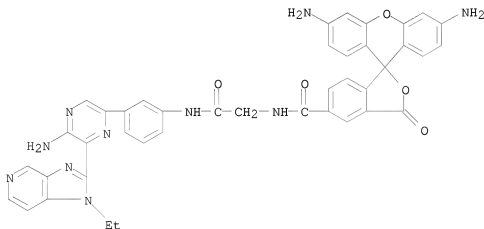
(preparation of rhodamine-containing glycinamide as substrate in the enzymic assay of pyrrolopyridines as inhibitors of serum and glucocorticoid-regulated kinase 1 (SGK-1))

RN 890843-32-0 CAPLUS

CN Acetamide, 2-[[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl]amino]- (CA INDEX NAME)



IT 890843-34-2P
 RL: BSU (Biological study, unclassified); SPN (Synthetic preparation);
 BIOL (Biological study); PREP (Preparation)
 (substrate; preparation of rhodamine-containing glycine as substrate in
 the enzymic assay of pyrrolopyridines as inhibitors of serum and
 glucocorticoid-regulated kinase 1 (SGK-1))
 RN 890843-34-2 CAPLUS
 CN Spiro[isobenzofuran-1(3H),9'-[9H]xanthene]-5-carboxamide,
 3',6'-diamino-N-[2-[[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-
 2-pyrazinyl]phenyl]amino]-2-oxoethyl]-3-oxo- (CA INDEX NAME)

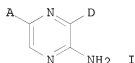


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2004:817641 CAPLUS
 DOCUMENT NUMBER: 141:332217
 TITLE: Preparation of aminopyrazine derivatives as ROCK
 kinase inhibitors
 INVENTOR(S): Alberti, Michael John; Drewry, David Harold; Miller,
 David Drysdale; Bamborough, Paul
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 66 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004084813	A2	20041007	WO 2004-US8301	20040318
WO 2004084813	A3	20050217		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1606266	A2	20051221	EP 2004-757813	20040318
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK			
JP 2006520794	T	20060914	JP 2006-507319	20040318
US 20060084651	A1	20060420	US 2005-549972	20050920
PRIORITY APPLN. INFO.:			US 2003-456872P	P 20030321
			WO 2004-US8301	W 20040318
OTHER SOURCE(S):	MARPAT 141:332217			
GI				



- AB The title compds. [I; A = (hetero)aryl, alkenyl, CN, etc.; D = (un)substituted benzimidazolyl, imidazopyridinyl, etc.], useful in the treatment of diseases associated with inappropriate tyrosine and/or serine/threonine kinase activity, were prepared E.g., two alternate methods of preparing 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine, were given. All 26 exemplified compds. I showed inhibitory activity vs. Rock-1 with pIC₅₀ of 5.0 or greater.
- IT 769967-87-5P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenylpyrazin-2-amine 769967-88-6P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(3,4,5-trimethoxyphenyl)pyrazin-2-amine 769967-89-7P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-91-1P, 5-(4-Aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769967-95-5P, 3-(1H-Benzimidazol-2-yl)-5-(3-fluorophenyl)pyrazin-2-amine 769967-96-6P, 3-(1H-Benzimidazol-2-yl)-5-(4-fluorophenyl)pyrazin-2-amine 769967-97-7P, 4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]-N,N-dimethylbenzenesulfonamide 769967-98-8P, 3-(1-Ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[3-(methylsulfonyl)phenyl]pyrazin-2-amine 769967-99-9P, 3-[4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenyl]propanoic acid 769968-00-5P, [4-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxy]acetic acid 769968-01-6P, [3-[5-Amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]phenoxy]acetic acid 769968-02-7P 769968-03-8P, Benzyl 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-yl]benzoate 769968-04-9P,

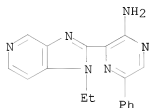
5-[4-(Benzyloxy)phenyl]-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769968-05-0P, 5-[1,1'-Biphenyl-3-yl]-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazin-2-amine 769968-06-1P 769968-07-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminopyrazine derivs. as ROCK kinase inhibitors)

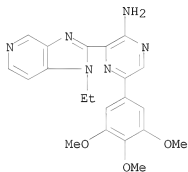
RN 769967-87-5 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-phenyl- (CA INDEX NAME)



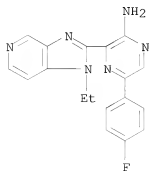
RN 769967-88-6 CAPLUS

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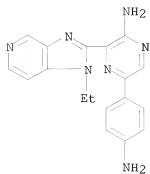
RN 769967-89-7 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)



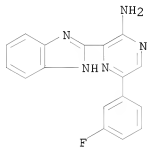
RN 769967-91-1 CAPLUS

CN 2-Pyrazinamine, 5-(4-aminophenyl)-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)



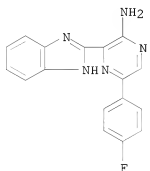
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CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(3-fluorophenyl)- (CA INDEX NAME)



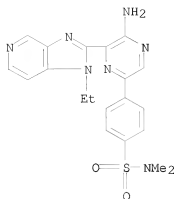
RN 769967-96-6 CAPLUS

CN 2-Pyrazinamine, 3-(1H-benzimidazol-2-yl)-5-(4-fluorophenyl)- (CA INDEX NAME)



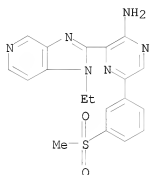
RN 769967-97-7 CAPLUS

CN Benzenesulfonamide, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-N,N-dimethyl- (CA INDEX NAME)



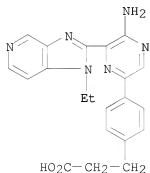
RN 769967-98-8 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[3-(methylsulfonyl)phenyl]- (CA INDEX NAME)



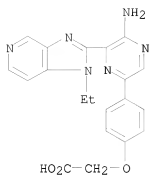
RN 769967-99-9 CAPLUS

CN Benzenepropanoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)



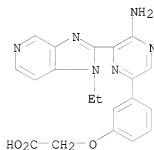
RN 769968-00-5 CAPLUS

CN Acetic acid, 2-[4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenoxy]- (CA INDEX NAME)



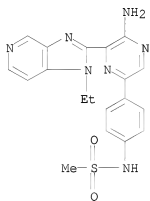
RN 769968-01-6 CAPLUS

CN Acetic acid, 2-[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenoxy]- (CA INDEX NAME)



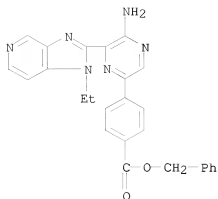
RN 769968-02-7 CAPLUS

CN Methanesulfonamide, N-[4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]phenyl]- (CA INDEX NAME)



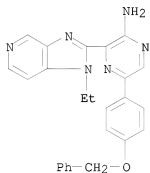
RN 769968-03-8 CAPLUS

CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]-, phenylmethyl ester (CA INDEX NAME)



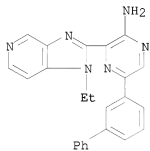
RN 769968-04-9 CAPLUS

CN 2-Pyrazinamine, 3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-5-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)



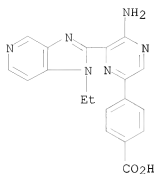
RN 769968-05-0 CAPLUS

CN 2-Pyrazinamine, 5-[1,1'-biphenyl]-3-yl-3-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)- (CA INDEX NAME)

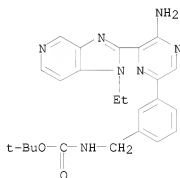


RN 769968-06-1 CAPLUS

CN Benzoic acid, 4-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)-2-pyrazinyl]- (CA INDEX NAME)



RN 769968-07-2 CAPLUS
 CN Carbamic acid, [[3-[5-amino-6-(1-ethyl-1H-imidazo[4,5-c]pyridin-2-yl)pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2003:633705 CAPLUS
 DOCUMENT NUMBER: 139:180070
 TITLE: Preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3
 INVENTOR(S): Harbeson, Scott L.; Arnost, Michael J.; Green, Jeremy; Savic, Vladimir
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 93 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066629	A2	20030814	WO 2003-US3655	20030206
WO 2003066629	A3	20031030		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
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KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,
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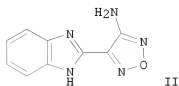
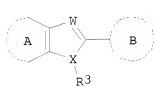
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AU 2003215087	A1	20030902	AU 2003-215087	20030206
US 20040034037	A1	20040219	US 2003-360535	20030206
EP 1472245	A2	20041103	EP 2003-710903	20030206

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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JP 2005526028	T	20050902	JP 2003-566002	20030206
MX 2004PA07697	A	20041110	MX 2004-PA7697	20040806
NO 2004003726	A	20041108	NO 2004-3726	20040906
US 20070270420	A1	20071122	US 2007-776756	20070712

PRIORITY APPLN. INFO.: US 2002-354843P P 20020206
 US 2003-360535 A1 20030206
 WO 2003-US3655 W 20030206

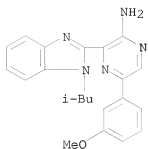
OTHER SOURCE(S): MARPAT 139:180070
 GI



AB The title compds. [I; ring A = (un)substituted 5-7 membered (un)saturated ring having 0-3 heteroatoms, and wherein ring A is optionally fused to 5-8 membered ring having 0-3 heteroatoms; ring B = (un)substituted 5-6 membered ring having 0-4 heteroatoms; W = N, CR₄; X = N, CH (wherein at least one of W and X = N); R₃ = TCN, LR; T = a bond, alkylidene; L = a bond, alkylidene wherein up to two methylene units of L are replaced by O, S, CO, etc.; R₄ = LR, halo, TNO₂, TCN; R = H, alkyl, aryl, etc.], useful as inhibitors of GSK-3 and Lck protein kinases (biol. data given) for treating and preventing various disorders, such as diabetes, Alzheimer's disease, and transplant rejection, were prepared Thus, reacting 1,2-phenylenediamine with Me 4-aminofurazan-3-carboximidate in the presence of AcOH in MeOH afforded 76% II. A pharmaceutical composition comprising the title compound I, was claimed.

IT 581081-40-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 2-(4-amino-1,2,5-oxadiazol-3-yl)benzimidazoles as inhibitors of GSK-3)

RN 581081-40-5 CAPLUS
 CN Pyrazinamine, 5-(3-methoxyphenyl)-3-[1-(2-methylpropyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

27.56

206.59

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-3.20

-3.20

STN INTERNATIONAL LOGOFF AT 10:07:20 ON 12 MAY 2008